

PATENT ABSTRACTS OF JAPAN

(11)Publication number : 06-092803

(43)Date of publication of application : 05.04.1994

(51)Int.Cl.

A01N 25/12

A01N 25/30

A01N 47/12

A01N 57/28

A01N 63/02

(21)Application number : 05-153964

(71)Applicant : TAKEDA CHEM IND LTD

(22)Date of filing : 24.06.1993

(72)Inventor : SAWAMURA MASATOSHI

GOTO YUKIO

TANABAYASHI TSUTOMU

(30)Priority

Priority number : 04205273 Priority date : 31.07.1992 Priority country : JP

(54) WATER-SOLUBLE GRANULE OF AGRICULTURAL CHEMICAL AND ITS PRODUCTION

(57)Abstract:

PURPOSE: To provide a new granular agent easily soluble in water and suitable for the application of an active agricultural chemical component soluble in water and provide a process for the production of the agent.

CONSTITUTION: This granular water-soluble agricultural chemical agent contains 5-95wt.% of an active agricultural chemical component soluble in water, 15-94wt.% of lactose and 0.05-5wt.% of a surfactant and has a water-solubility of $\geq 0.1\text{g/ml}$ at 20°C . The agent gives an agricultural chemical formulation having suppressed dusting tendency in handling, easy to weigh and free from clogging of nozzle in application. The granule is a new water-soluble formulation easily soluble in water and usable as a substitute for conventional water-soluble powdery agent and liquid agent.

LEGAL STATUS

[Date of request for examination] 30.03.2000

[Date of sending the examiner's decision of rejection] 21.01.2003

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

* NOTICES *

Japan Patent Office is not responsible for any damages caused by the use of this translation.

1. This document has been translated by computer. So the translation may not reflect the original precisely.
2. **** shows the word which can not be translated.
3. In the drawings, any words are not translated.

CLAIMS

[Claim(s)]

[Claim 1] A water-soluble agricultural-chemicals granule characterized by solubility to water in 20 degrees C containing a 0.1g [/ml] or more water solubility agricultural-chemicals active ingredient, a lactose, and a surfactant.

[Claim 2] A water-soluble agricultural-chemicals granule according to claim 1 characterized by containing 5 - 95% of the weight of a water-soluble agricultural-chemicals active ingredient, 15 - 94% of the weight of a lactose, and 0.05 - 5% of the weight of a surfactant.

[Claim 3] A water-soluble agricultural-chemicals granule according to claim 1 or 2 with which a lactose whose mean particle diameter is 0.1-15 micrometers is blended.

[Claim 4] A water-soluble agricultural-chemicals granule according to claim 1 to 3 whose surfactant is a non-ion system surfactant of HLB values 9-12.

[Claim 5] A water-soluble agricultural-chemicals granule according to claim 1 to 4 as which a water-soluble agricultural-chemicals active ingredient was chosen from a cartap hydrochloride, acephate, nitenpyram, and validamycin A and which is a kind at least.

[Claim 6] A water-soluble agricultural-chemicals granule according to claim 1 to 5 whose bulk density is 0.1-1.2g/ml.

[Claim 7] A water-soluble agricultural-chemicals granule according to claim 1 to 6 whose diameter of one grain of granulation is 0.5-5.0mm.

[Claim 8] A water-soluble agricultural-chemicals granule according to claim 1 to 7 which the 10g pharmaceutical preparation itself dissolves in water with a degree of hardness [200ml / 3] of 20 degrees C altogether under churning.

[Claim 9] Furthermore, a water-soluble agricultural-chemicals granule according to claim 1 to 8 characterized by containing a water-soluble binder.

[Claim 10] A water-soluble agricultural-chemicals granule according to claim 9 characterized by containing 0.1 - 10% of the weight of a water-soluble binder.

[Claim 11] A water-soluble agricultural-chemicals granule according to claim 9 or 10 whose water-soluble binder is a dextrin.

[Claim 12] A manufacturing method of a water-soluble agricultural-chemicals granule according to claim 1 to 11 which carries out wet piston granulation using water of 1 - 10 weight section to pharmaceutical preparation solid content of the 100 weight sections.

[Translation done.]

* NOTICES *

Japan Patent Office is not responsible for any damages caused by the use of this translation.

1. This document has been translated by computer. So the translation may not reflect the original precisely.
2. **** shows the word which can not be translated.
3. In the drawings, any words are not translated.

DETAILED DESCRIPTION

[Detailed Description of the Invention]

[0001]

[Industrial Application] This invention relates to the new granule suitable for use of a water fusibility agricultural-chemicals active ingredient easily dissolved in water, and its manufacturing method. This new granule is excellent in respect of the simplicity of the ease of handling, the ease of measuring, dust prevention of drugs, and use etc., and useful in the agricultural field.

[0002]

[Description of the Prior Art] The water fusibility agricultural-chemicals active ingredient is used as the water soluble powders which employed efficiently the property dissolved in the water other than powder material, a granule, and water dispersible powder as the formulation, or liquids and solutions. When using it for a fruit tree, vegetables, etc. especially, in order to lose the dirt by the agricultural chemicals adhering to the surface of fruits and vegetables, water soluble powders and liquids and solutions are used preferably. Such water soluble powders and liquids and solutions are preferably used, also in order to prevent plugging of the nozzle of a spraying machine.

[0003] However, since the conventional water soluble powders were powdered, the dusting at the time of measuring of drugs and preparation of a drug solution etc. had become a problem. Moreover, since it was powdered, the fluidity had the defect of a chip, being hard to measure.

[0004] About liquids and solutions, it is inapplicable to the agricultural-chemicals active ingredient decomposed in the condition of having melted into water. Furthermore, since it is a liquid, it is necessary to put into solid containers, such as a glass bottle and a plastics bottle, and failure of a container arises or there are problems, like a large storage area is needed. Moreover, there is not only a problem on such transportation or storage, but it is troubled by disposal of a used container and the present condition is that is not desirable to environment.

[0005] As mentioned above, in order to consider as the pharmaceutical preparation without dusting which is easy to measure from a viewpoint of operator protection, in the conventional powdered solid preparations, these troubles were unsolvable. Moreover, in liquids and solutions, disposal of a container was the biggest problem. In addition, in a granule, when a water-insoluble nature component tended to be used for a binder, disintegrator, or an extending agent in many cases and it was going to carry out the spray of the water diluent to a fruit tree or vegetables, generally it was not able to be used that it is easy to produce plugging of the nozzle by said water-insoluble nature component.

[0006] Moreover, the underwater sedimentation nature water solubility granule is indicated by JP,63-307802,A. When it is used for a paddy field etc. as a granule, using potassium sulfate as support, this pharmaceutical preparation is sedimenting underwater until that granule dissolves. In view of that feature, this pharmaceutical preparation is difficult for using it as water soluble powders which must dissolve quickly to water, and, in fact, is not used as water soluble powders. Moreover, the pharmaceutical preparation emulsified when it dissolves in the granulation water dispersible powder and water in an agricultural-chemicals use is developed, it gets down, and many have a report about this technology (173802 JP,1-157903,A, this 2- 108604, this 3- ***** 4-500515).

[0007] however, business -- the time -- water -- dissolving -- using it -- a sake -- having excelled -- agricultural chemicals -- pharmaceutical preparation -- developing -- not having -- like the above -- dealing with it -- being hard -- powder -- pharmaceutical preparation -- using it -- **** -- a thing -- the present condition -- it is .

[0008]

[Problem(s) to be Solved by the Invention] The purpose of this invention is to offer the new solid agricultural-chemicals water soluble powders which solved the above-mentioned trouble. Especially, there is little dusting and the granule which excelled [measuring] in easy handling is offered. Moreover, a granule convenient also for storage and storage is offered.

[0009]

[Means for Solving the Problem] By blending a lactose with a water-soluble agricultural-chemicals active ingredient, this invention person does the knowledge of a water-soluble agricultural-chemicals granule being obtained, does research examination further wholeheartedly, and came to complete this invention.

[0010] That is, this invention relates to a water-soluble agricultural-chemicals granule with which solubility to water in 20 degrees C contains a 0.1g [ml] or more water solubility agricultural-chemicals active ingredient, a lactose, and a surfactant, and its manufacturing method.

[0011] TM used in this specification means a registered trademark.

[0012] When it dilutes to anticipated-use concentration as a water fusibility agricultural-chemicals active ingredient of this invention (1000 to 2000 times), a thing within limits dissolved enough mixes a kind or two sorts or more, and is used. A dissolved-water-in-fuel agricultural-chemicals active ingredient shows a thing more than 0.1g (20 degrees C)/ml as solubility. An example is given to below.

[0013]

Solubility(water) Melting point (degree C)

- An insecticide-cartap hydrochloride (cartap) 200 g/l 179 -181 nitenpyram (nitenpyram) >200 g/l 84- 85 allethrin (allethrin) 500 g/l Liquid acephate (acephate) 650 g/l 91- 92ESP, oxy-DEPUROPOSU (oxydeprofos) Dissolution Liquid vamidothion (vamidothion) 4000 g/l 46- 48DEP, trichlorfon (trichlorfon) 154 g/l (25 degrees C) 83-84-germicide-validamycin A (validamycin A) Easily dissolvable 135-herbicide-diquat (diquat) 700 g/l paraquat (paraquat) 500 g/l beer RAHOSU (bialaphos) A >1000 g/l this invention granule is effective also in the above-mentioned active ingredient as a water-soluble granule which contains a kind at least among a cartap hydrochloride, acephate, nitenpyram, and validamycin A.

[0014] Especially a lactose used for this invention is not limited. A thing of a range the mean particle diameter of whose is 0.1-15 micrometers is desirable, and a range which is 1-10 micrometers is still more desirable. Since a particle-like thing is mixed and it is hard coming to fabricate at the time of extrusion molding in case medicine is manufactured to a granule when particle diameter is larger than this range, means, such as carrying out screening, may be needed after pharmaceutical preparation. Moreover, mixed actuation may become difficult when a lactose of particle diameter smaller than this range is used.

[0015] What is necessary is not to limit especially a surfactant used for this invention, either, and just to choose according to a class of agricultural-chemicals active ingredient used. Especially, a non-ion system surfactant is used preferably. Especially a thing of the range of 9-12 has a desirable HLB value, in view of solubility and a point of a humid operation over water. specifically, the polyoxyethylene nonylphenyl ether (example: NP-85TM, the Takemoto Fats-and-oils company make, etc.), block copolymerization objects of ethyleneoxide and propylene oxide, such as etc., example: -- new pole PE-64TM and Mitsuhiro -- Formation -- a shrine -- make, etc. are mentioned.

[0016] Especially, the above-mentioned polyoxyethylene nonylphenyl ether is preferably used for each drugs of a cartap hydrochloride, acephate, and a validamycin. A block copolymerization object of ethyleneoxide and propylene oxide is preferably used for nitenpyram.

[0017] Content of an agricultural-chemicals active ingredient used for this invention usually has 5 - 95 desirable % of the weight to the whole pharmaceutical preparation, and its 5 - 80 % of the weight is more desirable. A lactose is usually blended in 15 - 94% of the weight of the range. a surfactant -- usually -- 0.05 - 5% of the weight of a range -- it is more preferably blended in 0.1 - 5.0% of the weight of the range.

[0018] Moreover, this invention water solubility granule may be manufactured using a water-soluble adjuvant of further others. As such other water-soluble adjuvants, a binder, an adjuvant for foaming, a stabilizer, an ultraviolet ray absorbent, coloring matter, an extending agent, etc. are mentioned. Optimum dose combination of these is carried out according to a desired property.

[0019] As such a water-soluble binder, a dextrin, polyvinyl alcohol, gum arabic, sodium alginate, a polyvinyl pyrrolidone, a glucose, a sucrose, etc. are mentioned, and a dextrin, a glucose, a sucrose, etc. are especially desirable. By making these water solubility binder contain, a water-soluble granule of this invention shows the feature that granulation reinforcement can be raised, without spoiling solubility over water further.

[0020] As an adjuvant for water-soluble foaming, acid and an alkaline substance are usually blended. As such acid, a tartaric acid, succinic acid, boletic acid, a citric acid, a maleic acid, etc. are mentioned. As an alkaline substance, carbonates, such as a sodium hydrogencarbonate, a sodium carbonate, a calcium carbonate, and a magnesium carbonate, are mentioned.

[0021] The cyanine green G etc. is mentioned as water-soluble coloring matter.

[0022] A urea, an ammonium sulfate, etc. may be used together as a water-soluble extending agent.

[0023] A water-soluble binder (especially dextrin) used for this invention is usually 1.0 - 5.0 % of the weight preferably 0.1 to 10% of the weight to the whole pharmaceutical preparation. A water-soluble extending agent (especially urea) used in addition to a lactose is usually 10 - 20 % of the weight preferably 1.0 to 30% of the weight. Coloring matter is usually 0.1 - 0.5 % of the weight preferably 0.01 to 1.0% of the weight.

[0024] this invention water solubility granule is manufactured by usually carrying out wet piston granulation using water of 1 - 10 weight section to pharmaceutical preparation solid content of the 100 weight sections. Water of 2 - 5 weight section is used preferably.

[0025] Specifically, an agricultural-chemicals active ingredient, a lactose, a water-soluble surfactant, and other water-soluble adjuvants are mixed to homogeneity with a mixer etc. For example, a formed element is moderately mixed among components blended, a liquid component is dropped there, and mixing is continued further. Moreover, in this case, case [whose formed element is / like a lump], it is desirable to grind to moderate powder and to make mixed actuation easy by coarse grinding etc. As a particle of moderate powder, a thing with a particle diameter of 1-100 micrometers is mentioned. Subsequently, water of optimum dose is added to this mixture, and it scours with a mixer further. A kneaded object produces smooth stickiness and this kneading performs it to a degree suitable for piston granulation of an after production process. This kneading object is granulated by the usual wet pellet mill. As occasion demands, the particle size regulation of the granulation object is carried out, and a water-soluble desired granule is obtained. A particle size regulation is performed in the range in which an opening does not pass a mesh which is 300 micrometers, but passes a 1,700-micrometer mesh. Obtained granulation has a desirable thing of a range the major axis of whose is 0.5-5.0mm.

[0026] Moreover, as for bulk density of this granulation, it is desirable that it is the range of 0.1-1.2g/ml. It is the range of 0.5-1.0g/ml still more preferably.

[0027] The whole pharmaceutical preparation needs to dissolve easily this invention granule obtained as mentioned above also from the use method to water. to that extent -- ** -- if it carries out, what the 10g pharmaceutical preparation itself dissolves in water with a degree of hardness [200ml / 3] of 20 degrees C altogether under churning is desirable.

[0028] Thus, since this invention water solubility granule obtained is using a safe component, its toxicity is low and can use it as safe pharmaceutical preparation. pharmaceutical preparation of this invention -- indifferent water -- business -- the time -- dissolution dilution -- it is used by carrying out. The dilution has about (1g / 100ml - 1g / 2,000ml) 100 to 2,000 desirable times. Fields, such as a paddy field, a tea garden, and a kitchen garden, an orchard of the amount of pharmaceutical preparation used of this invention, etc. are 1-5kg usually per ha 2-3kg preferably. Measuring in that case may measure weight of a granule, with a measure cup etc., even if it measures the volume, it has quantum nature, and it is easy.

[0029]

[Example] An example, the example of reference, and the example of a trial are indicated below, and this invention is further explained to it at details. In addition, especially % and the section that are used in here show weight % and the weight section altogether, as long as it is unstated.

[0030] It kneaded after mixing enough surfactant NP-85 of the cartap hydrochloride of the manufacture 50 section of the water-soluble granulation A containing 50% of [example 1] cartap hydrochlorides, 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 49.2 sections until it added the water of the four sections to the pharmaceutical preparation solid content of the 100 weight sections and produced smooth stickiness under the room temperature with the kneading machine (the Kikusui factory, KM-1.5). The kneaded object was corned into cylinder-like granulation with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulation A which dries the obtained granulation at 60 degrees C for 1 hour, and contains 50% of cartap hydrochlorides was obtained.

[0031] It kneaded after mixing enough surfactant NP-85 of the cartap hydrochloride of the manufacture 75 section of the water-soluble granulation B containing 75% of [example 2] cartap hydrochlorides, 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 24.2 sections until it added the water of the four sections to the pharmaceutical preparation solid content of the 100 weight sections and produced smooth stickiness under the room temperature with the kneading machine (the Kikusui factory, KM-1.5). The kneaded object was corned into cylinder-like granulation with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulation B which dries the obtained granulation at 60 degrees C for 1 hour, and contains 75% of cartap hydrochlorides was obtained.

[0032] It kneaded after mixing enough surfactant NP-85 of the acephate of the manufacture 75 section of the water-soluble granulation C containing [example 3] acephate 75%, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 24.5 sections until it added the water of the four sections to the pharmaceutical

preparation solid content of the 100 weight sections and produced smooth stickiness under the room temperature with the kneading machine (the Kikusui factory, KM-1.5). The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulatio C which dries the obtained granulatio at 60 degrees C for 1 hour, and contains acephate 75% was obtained.

[0033] It kneaded after mixing enough surfactant new pole PE-64 of the nitenpyram of the manufacture 10 section of the water-soluble granulatio D containing [example 4] nitenpyram 10%, and the one section, the cyanine green G of the 0.1 sections, and the lactose (mean particle diameter, 5 micrometers) of the 88.9 sections until it added the water of the four sections to the pharmaceutical preparation solid content of the 100 weight sections and produced smooth stickiness under the room temperature with the kneading machine (the Kikusui factory, KM-1.5). The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulatio D which dries the obtained granulatio at 60 degrees C for 1 hour, and contains nitenpyram 10% was obtained.

[0034] After mixing enough the lactose (mean particle diameter, 5 micrometers) of the 85% phosphoric acid and the 38.6 sections of surfactant new pole PE-64 of the nitenpyram of the manufacture 10 section of the water-soluble granulatio E containing 50% of [example 5] nitenpyram 10% and cartap hydrochlorides, the cartap hydrochloride of the 50 sections, and the one section, the cyanine green G of the 0.1 sections, and the 0.3 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The obtained granulatio was dried at 60 degrees C for 1 hour, and the water-soluble granulatio E containing 50% of nitenpyram 10% and cartap hydrochlorides was obtained.

[0035] It kneaded after mixing enough surfactant NP-85 of the validamycin A of the manufacture 5.5 section of the water-soluble granulatio F containing 5.5% of [example 6] validamycin A, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 94 sections until it added the water of the four sections to the pharmaceutical preparation solid content of the 100 weight sections and produced smooth stickiness under the room temperature with the kneading machine (the Kikusui factory, KM-1.5). The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulatio F which dries the obtained granulatio at 60 degrees C for 1 hour, and contains 5.5% of validamycin A was obtained. After mixing enough surfactant NP-85 of the cartap hydrochloride of the manufacture 75 section of the water-soluble granulatio I containing 75% of [example 7] cartap hydrochlorides, the dextrin of the 3.0 sections, the cyanine green G of the 0.15 sections, 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 21.05 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulatio I which dries the obtained granulatio at 60 degrees C for 1 hour, and contains 75% of cartap hydrochlorides was obtained.

[0036] After mixing enough surfactant NP-85 of the cartap hydrochloride of the manufacture 75 section of the water-soluble granulatio J containing 75% of [example 8] cartap hydrochlorides, the dextrin of the 5.0 sections, the cyanine green G of the 0.15 sections, 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 19.05 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulatio J which dries the obtained granulatio at 60 degrees C for 1 hour, and contains 75% of cartap hydrochlorides was obtained.

[0037] After mixing enough surfactant NP-85 of the cartap hydrochloride of the manufacture 75 section of the water-soluble granulatio K containing 75% of [example 9] cartap hydrochlorides, the dextrin of the 3.0 sections, the sucrose of the three sections, the cyanine green G of the 0.15 sections, 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 18.05 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulatio with the extrusion type granulating machine (the Kikusui factory, RG-

5M) using the screen of the diameter of 0.8mm. The water-soluble granulation K which dries the obtained granulation at 60 degrees C for 1 hour, and contains 75% of cartap hydrochlorides was obtained.

[0038] After mixing enough surfactant new pole PE-64 of the nitenpyram of the manufacture 10 section of the water-soluble granulation L containing [example 10] nitenpyram 10%, and the one section, the cyanine green G of the 0.15 sections, the dextrin of the 1.0 sections, the urea of the 20 sections, and the lactose (mean particle diameter, 5 micrometers) of the 67.85 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulation with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulation L which dries the obtained granulation at 60 degrees C for 1 hour, and contains nitenpyram 10% was obtained.

[0039] After mixing enough surfactant new pole PE-64 of the nitenpyram of the manufacture 10 section of the water-soluble granulation M containing [example 11] nitenpyram 10%, and the one section, the cyanine green G of the 0.15 sections, the dextrin of the 3.0 sections, the urea of the 20 sections, and the lactose (mean particle diameter, 5 micrometers) of the 65.85 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulation with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulation M which dries the obtained granulation at 60 degrees C for 1 hour, and contains nitenpyram 10% was obtained.

[0040] After mixing enough surfactant new pole PE-64 of the nitenpyram of the manufacture 10 section of the water-soluble granulation N containing [example 12] nitenpyram 10%, and the one section, the cyanine green G of the 0.15 sections, the dextrin of the 3.0 sections, the glucose of the 3.0 sections, the urea of the 20 sections, and the lactose (mean particle diameter, 5 micrometers) of the 62.85 sections, the water of the four sections is added to the pharmaceutical preparation solid content of the 100 weight sections, and it is a kneading machine (the Kikusui factory, KM-1.5). Under the room temperature, it kneaded until it produced smooth stickiness. The kneaded object was corned into cylinder-like granulation with the extrusion type granulating machine (the Kikusui factory, RG-5M) using the screen of the diameter of 0.8mm. The water-soluble granulation N which dries the obtained granulation at 60 degrees C for 1 hour, and contains nitenpyram 10% was obtained.

[0041] After mixing surfactant NP-85 of the cartap hydrochloride of the manufacture 50 section of the water-soluble powder A containing 50% of the [example 1 of reference] cartap hydrochlorides, 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, and the lactose (mean particle diameter, 5 micrometers) of the 49.2 sections, it pulverized and the water-soluble powder A containing 50% of cartap hydrochlorides was obtained.

[0042] After mixing the dextrin of the five sections, and the clay of the 44.2 sections for surfactant NP-85 of the cartap hydrochloride of the manufacture 50 section of the granulation G containing 50% of the [example 2 of reference] cartap hydrochlorides (clay use), 85% phosphoric acid of the 0.3 sections, and the 0.5 sections, adding water, kneading, a granulation, and the granulation G that dries and contains 50% of cartap hydrochlorides were obtained.

[0043] After mixing the clay for water dispersible powder of the acephate of the manufacture 50 section of the powdered water dispersible powder A containing [example 3 of reference] acephate 50%, the surfactant DIKUSUZORU W-K(trade name) 3 section, and the 47 sections, the powdered water dispersible powder A which pulverizes and contains acephate 50% was obtained.

[0044] After reaching the cyanine green G of the one section and the 0.1 sections in the manufacture nitenpyram 10 section of the water-soluble powder B containing [example 4 of reference] nitenpyram 10%, and surfactant new pole PE-64 and mixing the lactose (mean particle diameter, 5 micrometers) of the 88.9 sections, the water-soluble powder B which pulverizes and contains nitenpyram 10% was obtained.

[0045] Hard water is put into the measuring cylinder of 1000ml of the [example 1 of trial] underwater solubility to the marked line 3 times. The dissolution condition until it supplies a 1g sample to this calmly and reaches it at a pars basilaris ossis occipitalis was observed. The result is shown in [a table 1].

[0046] 200ml of hard water was put into the [example 2 of trial] dissolution rate beaker of 500ml 3 times, the 10g sample was supplied to this, it agitated using the glass rod, and time amount until it dissolves completely was measured. in addition, it dissolves dissolving easily underwater 50% or more in the example 1 of a trial (condition of O or \diamond), and comes out of what is dissolved completely within 3 minutes in the example 2 of a trial further. The result is shown in [a table 1]. The 20g sample was paid to the 1l. glass bottle whenever [[example 3 of trial] dusting], it shook violently up and down, and time amount until the dusting after standing and in a bottle is subsided was measured. The

result is shown in [a table 1].

[0047]

[A table 1]

試料名	試験例 1 水中溶解性	試験例 2 溶解速度	試験例 3 粉立ち度
顆粒 A	◇	1 分以内	2 秒以内
顆粒 B	○	1 分以内	2 秒以内
顆粒 C	○	1 分以内	2 秒以内
顆粒 D	◇	1 分以内	2 秒以内
顆粒 E	○	1 分以内	2 秒以内
顆粒 F	◇	1 分以内	2 秒以内
顆粒 I	○	1 分以内	2 秒以内
顆粒 J	◇	1 分以内	2 秒以内
顆粒 K	◇	1 分以内	2 秒以内
顆粒 L	○	1 分以内	2 秒以内
顆粒 M	○	1 分以内	2 秒以内
顆粒 N	◇	1 分以内	2 秒以内
粉末 A	△	2 分以内	1 5 秒以内
顆粒 G	×	残渣あり	2 秒以内
水和剤 A	△	残渣あり	2 0 秒以内
粉末 B	△	5 分以内	1 5 秒以内

註)評価方法

○：底部に達するまでに、完全に溶解する。

◇：底部に達するまでに、5 0 %以上溶解する

△：底部に達するまでに、一部溶解するが5 0 %以下。

×

[0048] Water-soluble granulation H was obtained like the example 1 except having used the lactose whose mean particle diameter is 20 micrometers instead of the [example 4 of trial] mean particle diameter being the lactose which is 5 micrometers. The pharmaceutical preparation of 50g water-soluble granulation A and water-soluble granulation H was put into the plus sieve of 300 micrometers of openings, respectively, it attached for the sieving machine, and sieving was performed for 10 minutes. The rate of fines is computed for the weight of the sample which passed the sieve by the scale and [a formula 1]. The result is shown in [a table 2].

[0049]

[Formula 1]

$$\frac{\text{ふるいを通過した試料}}{\text{試料 (50 g)}} \times 100$$

[0050]

[A table 2]

試料	微粉率
水溶性顆粒A	10%
水溶性顆粒II	35%

[0051]

[Effect of the Invention] According to this invention, by using agricultural-chemicals pharmaceutical preparation as water-soluble granulation, generating of the dust at the time of handling is suppressed, it is easy to measure, and pharmaceutical preparation without plugging of the nozzle at the time of spraying is offered. Moreover, this granulation is easily dissolvable in water, and is the water-soluble conventional powders and new water solubility pharmaceutical preparation which replaces liquids and solutions.

[Translation done.]

* NOTICES *

Japan Patent Office is not responsible for any damages caused by the use of this translation.

1. This document has been translated by computer. So the translation may not reflect the original precisely.
2. **** shows the word which can not be translated.
3. In the drawings, any words are not translated.

CORRECTION OR AMENDMENT

[Official Gazette Type] Printing of amendment by the convention of 2 of Article 17 of patent law
 [Section partition] The 2nd partition of the 3rd section
 [Date of issue] February 6, Heisei 13 (2001. 2.6)

[Publication No.] JP,6-92803,A
 [Date of Publication] April 5, Heisei 6 (1994. 4.5)
 [Year copy format] Open patent official report 6-929
 [Filing Number] Japanese Patent Application No. 5-153964
 [The 7th edition of International Patent Classification]

A01N 25/12
 25/30
 47/12
 57/28
 63/02

[FI]

A01N 25/12
 25/30
 47/12 B
 57/28 F
 63/02 G

[Procedure revision]
 [Filing Date] March 30, Heisei 12 (2000. 3.30)
 [Procedure amendment 1]
 [Document to be Amended] Specification
 [Item(s) to be Amended] Claim
 [Method of Amendment] Modification
 [Proposed Amendment]
 [Claim(s)]
 [Claim 1] A water-soluble agricultural-chemicals granule characterized by solubility to water in 20 degrees C containing a 0.1g [/ml] or more water solubility agricultural-chemicals active ingredient, a lactose, and a surfactant.
 [Claim 2] A water-soluble agricultural-chemicals granule according to claim 1 characterized by containing 5 - 95% of the weight of a water-soluble agricultural-chemicals active ingredient, 15 - 94% of the weight of a lactose, and 0.05 - 5% of the weight of a surfactant.
 [Claim 3] A water-soluble agricultural-chemicals granule according to claim 1 or 2 with which a lactose whose mean particle diameter is 0.1-15 micrometers is blended.
 [Claim 4] A water-soluble agricultural-chemicals granule according to claim 1 to 3 whose surfactant is a non-ion system surfactant of HLB values 9-12.
 [Claim 5] A water-soluble agricultural-chemicals granule according to claim 1 to 4 as which a water-soluble agricultural-chemicals active ingredient was chosen from a cartap hydrochloride, acephate, nitenpyram, and validamycin A and which is a kind at least.

[Claim 6] A water-soluble agricultural-chemicals granule according to claim 1 to 5 whose bulk density is 0.1-1.2g/ml.

[Claim 7] A water-soluble agricultural-chemicals granule according to claim 1 to 6 whose diameter of one grain of granulation is 0.5-5.0mm.

[Claim 8] A water-soluble agricultural-chemicals granule according to claim 1 to 7 which the 10g pharmaceutical preparation itself dissolves in water with a degree of hardness [200ml / 3] of 20 degrees C altogether under churning.

[Claim 9] Furthermore, a water-soluble agricultural-chemicals granule according to claim 1 to 8 characterized by containing a water-soluble binder.

[Claim 10] A water-soluble agricultural-chemicals granule according to claim 9 characterized by containing 0.1 - 10% of the weight of a water-soluble binder.

[Claim 11] A water-soluble agricultural-chemicals granule according to claim 9 or 10 as which a water-soluble binder was chosen from a dextrin, a glucose, and scrolling and which is a kind at least.

[Claim 12] A water-soluble agricultural-chemicals granule according to claim 9 or 10 whose water-soluble binder is a dextrin.

[Claim 13] A manufacturing method of a water-soluble agricultural-chemicals granule according to claim 1 to 12 which carries out wet piston granulation using water of 1 - 10 weight section to pharmaceutical preparation solid content of the 100 weight sections.

[Translation done.]